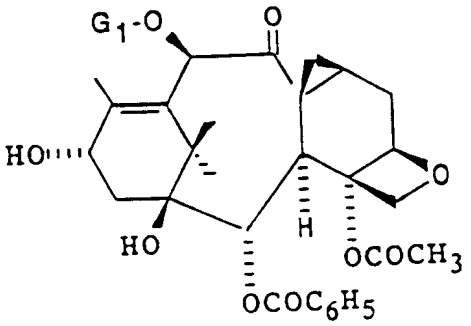
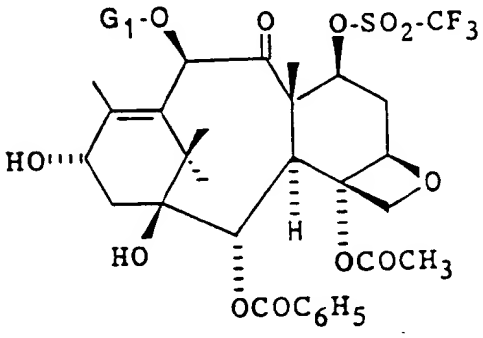
in which G_1 is a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical or a hydroxy-protecting group.

104. A method of preparing a compound of the formula (VI):



(VI)

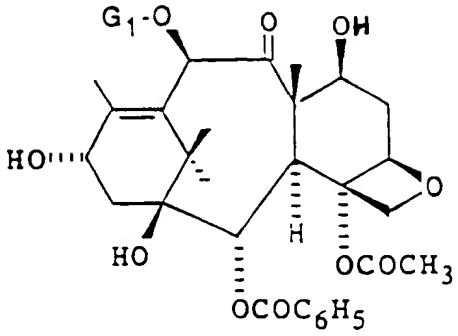
in which G_1 is a hydrogen atom or an acetyl, alkoxy-acetyl or alkyl radical or a hydroxy-protecting group, comprising reacting a compound of the formula (XXII)



(XXII)

with an alkali metal halide or an alkali metal azide or a quaternary ammonium salt or an alkali metal phosphate in an organic solvent and isolating the compound of formula (VI).

105. The method according to claim 104, wherein the compound of formula XXII is prepared by reacting a compound of the formula:

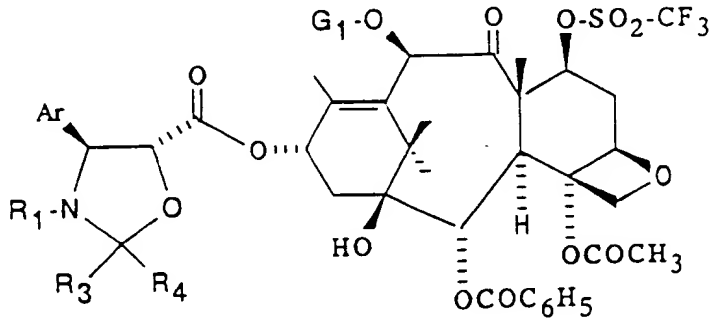


with trifluoro-methanesulfonic acid or a derivative thereof.

106. The method of claim 105, wherein the trifluoromethanesulphonic acid derivative is trifluoromethanesulfonic acid anhydride or N-phenyltrifluoromethanesulfonimide.

D' cont

107. A compound of the formula XXIII:



(XXIII)

in which Ar represents an aryl radical, R_1 represents a benzoyl radical or a radical R_2-O-CO- in which R_2 represents:

- a straight or branched alkyl radical containing 1 to 8 carbon atoms, an alkenyl radical containing 2 to 8 carbon atoms, an alkynyl radical containing 3 to 8 carbon atoms, a cycloalkyl radical containing 3 to 6 carbon atoms, a cycloalkenyl radical containing 4 to 6 carbon atoms or a bicycloalkyl radical containing 7 to 11 carbon atoms, these radicals being optionally substituted by one or more substituents chosen from halogen atoms and hydroxy radicals, alkyloxy radicals containing 1 to 4 carbon atoms, dialkylamino radicals in which each alkyl portion contains 1 to 4 carbon atoms, piperidino radicals, morpholino radicals, 1-piperazinyl radicals (optionally substituted at position 4 by alkyl radical containing 1 to 4 carbon atoms or by a phenylalkyl radical whose alkyl portion contains 1 to 4 carbon atoms), cycloalkyl radicals containing 3 to 6 carbon atoms, cycloalkenyl radicals containing 4 to 6 carbon atoms, phenyl radicals, cyano radicals, carboxy radicals or alkyloxycrbonyl radicals whose alkyl portion contains 1 to 4 carbon atoms,

D' cont

- or a phenyl radical optionally substituted by one or more atoms or radicals chosen from halogen atoms and alkyl radicals containing 1 to 4 carbon atom or alkyloxy radicals containing 1 to 4 carbon atoms,

- or a saturated or unsaturated 4- to 6-membered nitrogen-containing heterocyclyl radical optionally substituted by one or more alkyl radicals containing 1 to 4 carbon atoms, it being understood that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals may be optionally substituted by one or

more alkyl radicals containing 1 to 4 carbon atoms; R_3 and R_4, which are identical or different represent a hydrogen atom or an alkyl radical containing 1 to 4 carbon atoms, or an aralkyl radical whose alkyl portion contains 1 to 4 carbon atoms and their aryl portion preferably represents a phenyl radical optionally substituted by one or more alkoxy radicals containing 1 to 4 carbon atoms, or an aryl radical preferably representing a phenyl radical optionally substituted by one or more alkoxy radicals containing 1 to 4 carbon atoms, or alternatively R_3 represents an alkoxy radical containing 1 to 4 carbon atoms or a trihalomethyl radical such as trichloromethyl or a phenyl radical substituted by a trihalomethyl radical such as trichloromethyl and R_4 represents a hydrogen atom, or alternatively R_3 and R_4 form, together with the carbon atom to which they are attached, a 4- to 7-membered ring, and G_1 represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical or a hydroxy-protecting group.

D' cont

108. A compound according to claim 107, wherein Ar represents a phenyl or α- or β-naphthyl radical optionally substituted by one or more atoms or radicals chosen from halogen atoms and alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkoxy, alkylthio, aryloxy, arylthio, hydroxy, hydroxyalkyl, mercapto, formyl, acyl, acylamino, aroylamino, alkoxycarbonylamino, amino, alkylamino, dialkylamino, carboxy, alkoxycarbonyl, carbamoyl, dialkylcabamoyl, cyano, nitro and trifluoromethyl radicals, with

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the proviso that the alkyl radicals and the alkyl portions of the other radicals contain 1 to 4 carbon atoms, that the alkenyl and alkynyl radicals contain 2 to 8 carbon atoms and that the aryl radicals are phenyl or α- or β-naphthyl radicals or alternatively Ar represents a 5-membered aromatic heterocyclic radical containing one or more atoms, which are identical or different, chosen from nitrogen, oxygen or sulphur atoms, optionally substituted by one or more substituents, which are identical or different, chosen from halogen atoms,and alkyl radicals containing 1 to 4 carbon atoms, aryl radicals containing 6 to 10 carbon atoms, alkoxy radicals containing 1 to 4 carbon atoms, aryloxy radicals containing 6 to 10 carbon atoms, amino radicals, alkylamino radicals containing 1 to 4 carbon atoms, dialkylamino radicals in which each alkyl portion contains 1 to 4 carbon atoms, acylamino radicals in which the acyl portion contains 1 to 4 carbon atoms, alkoxycarbonylamino radicals containing 1 to 4 carbon atoms, acyl radicals containing 1 to 4 carbon atoms, arycarbonyl radicals in which the aryl portion contains 6 to 10 carbon atoms, cyano radicals, carboxy radicals, carbamoyl radicals, alkylcarbamoyl radicals in which the alkyl portion contains 1 to 4 carbon atoms, dialkylcarbamoyl radicals in which each alkyl portion contains 1 to 4 carbon atoms or alkoxycarbonyl radicals in which the alkoxy portion contains 1 to 4 carbon atoms.

D' cont

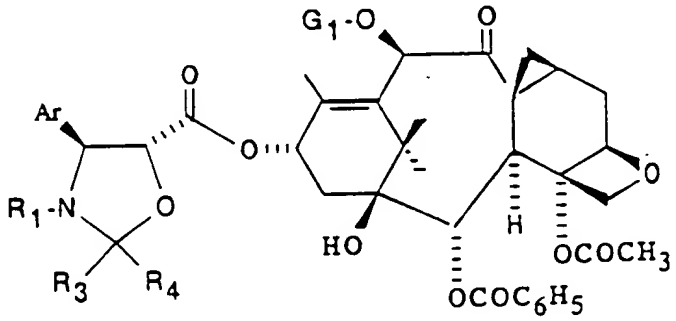
109. A compound according to claim 107, wherein Ar represents a phenyl, 2- or 3-thienyl or 2- or 3-furyl radical optionally substituted by one or more atoms or radicals, which are identical or different, chosen from halogen atoms and alkyl, alkoxy, amino, alkylamino, dialkylamino, acylamino, alkoxycarbonylamino and trifluoromethyl radicals.

110. A compound according to claim 107, wherein Ar represents a phenyl radical optionally substituted by a chlorine or fluorine atom or by an alkyl, alkoxy, dialkylamino, acylamino or alkoxycarbonylamino or 2- or 3-thienyl or 2- or 3-furyl radical.

5' cont

111. A compound according to claim 107, wherein Ar represents a phenyl radical and R_1 represents a benzoyl or tert-butoxcarbonyl radical.

112. A method of preparing a compound of the formula:



(II)

in which Ar represents an aryl radical, R_1 represents a benzoyl radical or a radical R_2-O-CO- in which R_2 represents:

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- a straight or branched alkyl radical containing 1 to 8 carbon atoms, an alkenyl radical containing 2 to 8 carbon atoms, an alkynyl radical containing 3 to 8 carbon atoms, a cycloalkyl radical containing 3 to 6 carbon atoms, a cycloalkenyl radical containing 4 to 6 carbon atoms or a bicycloalkyl radical containing 7 to 11 carbon atoms, these radicals being optionally substituted by one or more substituents chosen from halogen atoms or hydroxy radicals, alkyloxy radicals containing 1 to 4 carbon atoms, dialkylamino radicals in which each alkyl portion contains 1 to 4 carbon atoms, piperidino radicals, morpholino radicals, 1-piperazinyl radicals (optionally substituted at position 4 by an alkyl radical containing 1 to 4 carbon atoms or by a phenylalkyl radical whose alkyl portion contains 1 to 4 carbon atoms), cycloalkyl radicals containing 3 to 6 carbon atoms, cycloalkenyl radicals containing 4 to 6 carbon atoms, phenyl radicals, cyano radicals, carboxy radicals or alkyloxycarbonyl radicals whose alkyl portion contains 1 to 4 carbon atoms,

D' cont

- or a phenyl radical optionally substituted by one or more atoms or radicals chosen from halogen atoms and alkyl radicals containing 1 to 4 carbon atoms or alkyloxy radicals containing 1 to 4 carbon atoms,

- or a saturated or unsaturated 4- to 6-membered nitrogen-containing heterocyclyl radial optionally substituted by one or more alkyl radicals containing 1 to 4 carbon atoms, it being understood that the cycloalkyl, cycloalkenyl or bicycloalkyl radicals may be optionally substituted by one or

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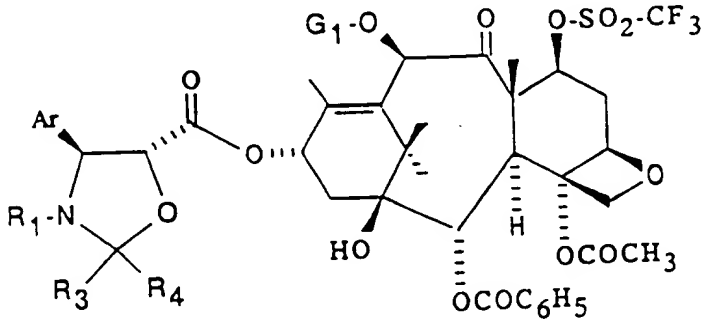
more alkyl radicals containing 1 to 4 carbon atoms; R_3 and R_4, which are identical or different represent a hydrogen atom or a alkyl radical containing 1 to 4 carbon atoms, or an aralkyl radical whose alkyl portion contains 1 to 4 carbon atoms and the aryl portion preferably represents a phenyl radical optionally substituted by one or more alkoxy radicals containing 1 to 4 carbon atoms, or an aryl radical preferably representing a phenyl radical optionally substituted by one or more alkyl radicals containing 1 to 4 carbon atoms, or alternatively R_3 represents an alkoxy radical containing 1 to 4 carbon atoms or a trihalomethyl radical such as trichloromethyl or a phenyl radical substituted by a trihalomethyl radical such as trichloromethyl and R_4 represents a hydrogen atom, or alternatively R_3 and R_4 form, together with the carbon atom to which they are attached, a 4- to 7-membered ring, and G_1 represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical or a hydroxy-protecting group, comprising reacting a compound of the formula (XXIII):

D' cont



(XXIII)

with an alkali metal halide or an alkali metal azide or a quaternary ammonium salt or an alkali metal phosphate in an organic solvent and isolating the compound of formula (II):

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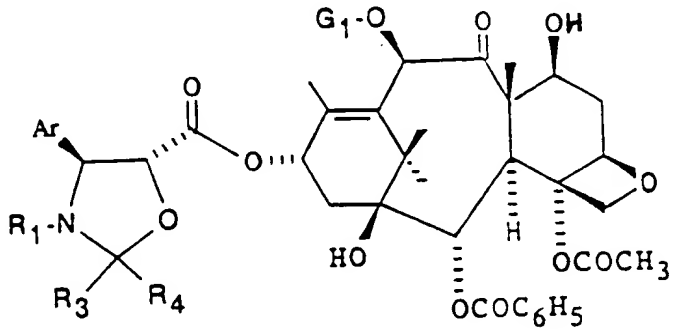
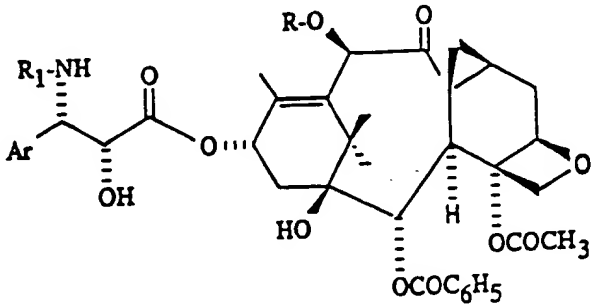
113. The method according to claim 112, wherein the compound of formula XXII is prepared by reacting a compound of the formula:



with trifluoro-methanesulfonic acid or a derivative thereof.

114. The method of claim 113, wherein the trifluoramethane sulfonic acid derivation is trifluoromethane sulfonic acid anhydrides or N-phenyltrifluoromethanesulfonimide.

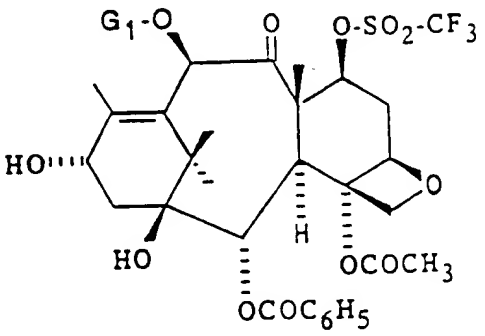
115. A method for the preparation of a taxoid of the formula:



(I)

in which R represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical, R_1 represents a benzoyl radical or a radical R_2-O-CO- in which R_2 represents an alkyl, alkenyl, alkynyl,

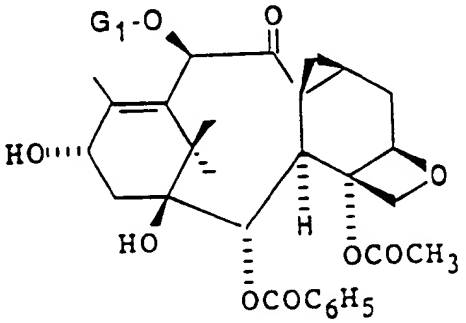
cycloalkyl, cycloalkenyl, bicycloalkyl, phenyl or heterocyclyl radical, and Ar represents an aryl radical, comprising reacting a compound of the formula



(XXII)

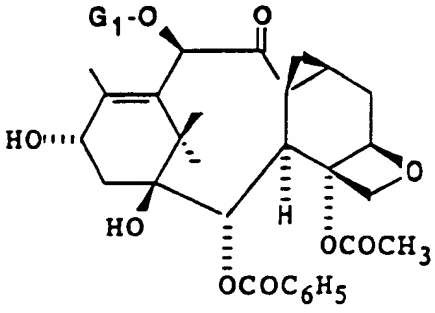
with an alkali metal halide or an alkali metal azide or a quaternary ammonium salt or an alkali metal phosphate in an organic solvent to form a compound of the formula:

D' cont

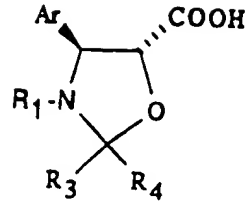


(VI)

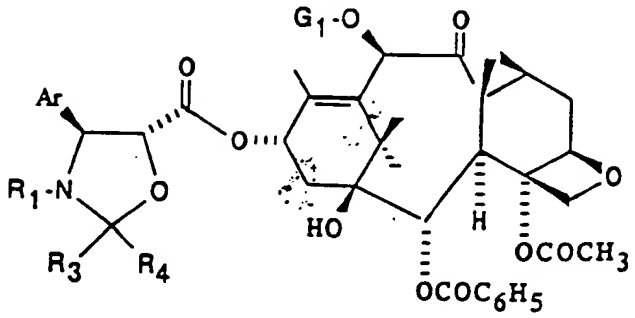
esterifying the product of formula:



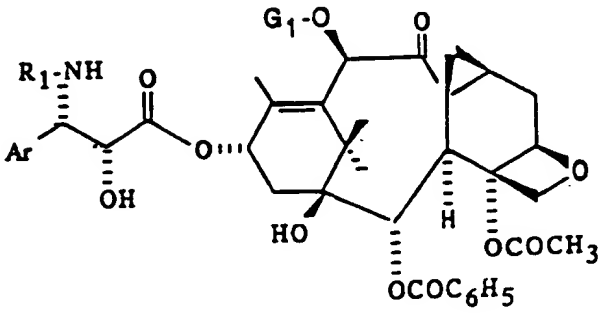
with an acid of the formula:



in which R_3 represents a hydrogen atom or an alkoxy radical containing 1 to 4 carbon atoms or an optionally substituted aryl radical and R_4 represents a hydrogen atom, to give a product of the formula:



treating this product in acidic medium to give a product of the formula:



and then optionally replacing the protecting group G_1 by a hydrogen atom and isolating the product obtained.

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116. Process according to claim 115, wherein the esterification is carried out by means of the free acid, the procedure being carried out in the presence of a condensing agent chosen from carbodiimides and reactive carbonates and an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, ketones, esters, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between -10 and 90°C.

117. Process according to claim 115, wherein the esterification by means of the anhydride is carried out in the presence of an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 90°C.

D' cont

118. Process according to claim 115, wherein the esterification is carried out by means of a halide or an anhydride with an aliphatic or aromatic acid, optionally prepared in situ, the procedure being carried out in the presence of a base chosen from tertiary aliphatic amines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 80°C.

119. Process according to claim 115, wherein the aid treatment is carried out by means of an inorganic or organic acid in an organic solvent at a temperature of between -10 and 60°C.

120. Process according to claim 119, wherein the acid is chosen from hydrochloric, sulphuric, acetic, methanesulphonic, trifluoromethanesulphonic and p-toluenesulponic acids, used alone or in the form of a mixture.

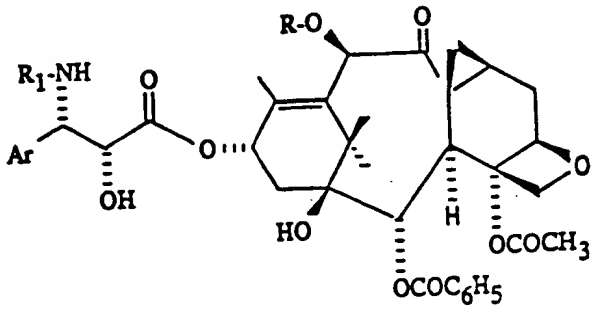
121. Process according to claim 119, wherein the solvent is chosen form alcohols, ethers, esters, halogenated aliphatic hydrocarbons, aromatic hydrocarbons and nitriles.

D' cont

122. Process according to claim 115, wherein the replacement by a hydrogen atom of the protecting group G_1, when it represents a 2, 2, 2-trichloroethoxycarbonyl or 2-(2-trichloromethylpropoxy carbonyl radical, is carried out by treatment using zinc, optionally combined with copper, in the presence of acetic acid at a temperature of between 30 and 60°C or by means of an inorganic or organic acid such as hydrochloric acid or acetic acid in solution in an aliphatic alcohol containing 1 to 3 carbon atoms or an aliphatic ester such as ethyl acetate, isopropyl acetate or n-butyl acetate in the presence of zinc optionally combined with copper, and, when it represents an alkoxyacetyl radical, by treatment in alkaline medium by means of ammonia in aqueous-alcoholic medium at a

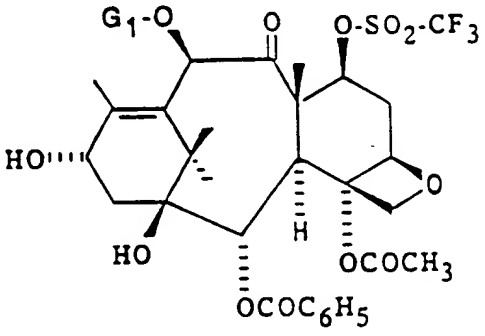
temperature close to 20°C or by treatment using a zinc halide in methanol at a temperature close to 20°C.

123. Process for the preparation of a product of the formula:



(I)

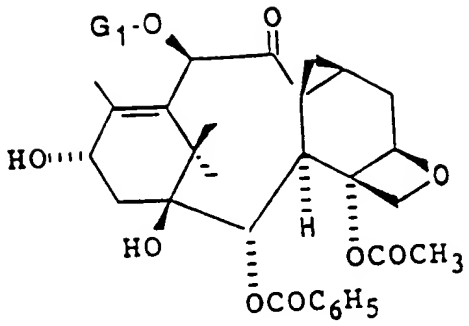
in which R represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical, R_1 represents a benzoyl radical or a radical R_2-O-CO- in which R_2 represents an alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, phenyl or heterocyclyl radical, and Ar represents an aryl radical, comprising reacting a compound of the formula:



(XXII)

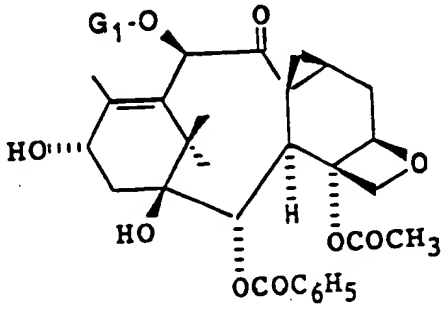
with an alkali metal halide or an alkali metal azide or a quaternary ammonium salt or an alkali metal phosphate in an organic solvent to form a compound of the formula:

210

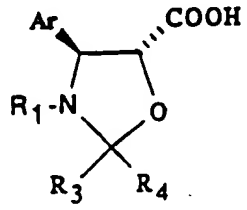


(VI)

esterifying a product of the formula:

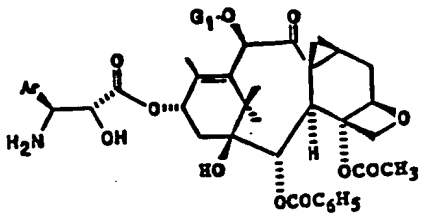


in which G_1 represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical or a hydroxy-protecting group, by means of an acid of the formula:



in which R_3 and R_4, which are identical or different, represent an alkyl radical containing 1 to 4 carbon atoms or an aralkyl radical whose alkyl portion contains 1 to 4 carbon atoms or an aryl radical, or alternatively R_3 represents a trihalomethyl radical or a phenyl radical substituted by a trihalomethyl

radical and R_4 represents a hydrogen atom, or alternatively R_3 and R_4 form, together with the carbon atom to which they are attached, a 4- to 7-membered ring, to give, after treating in acidic medium, a product of the formula:



and acylating the product by means of benzoyl chloride or a reactive derivative of the formula:

$$R_2\text{-O-CO-X}$$

in which X represents a halogen atom or a residue $-O-R_2$ or $-O-CO-O-R_2$, and then replacing the protecting group G_1, if necessary, by a hydrogen atom, and isolating the product obtained.

124. Process according to claim 123, wherein the esterification is carried out by means of the free acid, the procedure being carried out in the presence of a condensing agent chosen from carbodiimides and reactive carbonates and an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, ketones, esters, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between -10 and 90°C.

125. Process according to claim 123, wherein the esterification by means of the anhydride is carried out in the presence of an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 90°C.

126. Process according to claim 123, wherein the esterification is carried out by means of a halide or an anhydride with an aliphatic or aromatic acid, optionally prepared in situ, the procedure being carried out in the presence of a base chosen from tertiary aliphatic amines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 80°C.

D' cont

127. Process according to claim 123, wherein the acid treatment is carried out by means of an inorganic or organic acid in an organic solvent at a temperature of between 0 and 50°C.

128. Process according to claim 127, wherein the acid is chosen from hydrochloric, sulphuric and formic acids.

129. Process according to claim 127, wherein the solvent is chosen from alcohols containing 1 to 3 carbon atoms.

130. Process according to claim 127, wherein the acylation is carried out in an inert organic solvent in the presence of an inorganic or organic base.

131. Process according to claim 124, wherein the inert organic solvent is chosen from esters and halogenated aliphatic hydrocarbons.

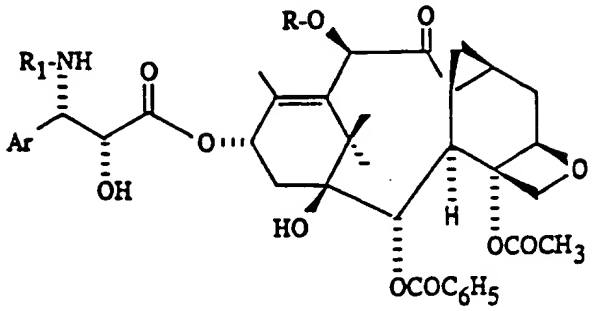
132. Process according to one of claims 129, 130 or 131, wherein the procedure is carried out at a temperature of between 0 and 50°C.

D' cont

133. Process according to claim 123, wherein the replacement by a hydrogen atom of the protecting group G_1, when it represents a 2, 2,2-trichloroethoxycarbonyl or 2-(2-trichloromethylpropoxy)carbonyl radical, is carried out by treatment using zinc, optionally combined with copper, in the presence of acetic acid at a temperature of between 30 and 60°C or by means of an inorganic or organic acid such as hydrochloric acid or acetic acid in solution in an aliphatic alcohol containing 1 to 3 carbon atoms or an aliphatic ester such as ethyl acetate, isopropyl acetate or n-butyl acetate in the presence of zinc optionally combined with copper, or, when it represents an alkoxy acetyl radical, by treatment in alkaline medium by means of ammonia in aqueous-alcoholic medium at a

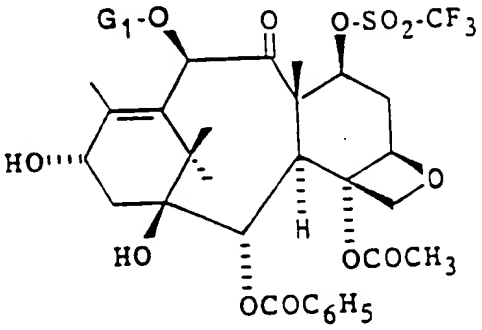
temperature close to 20°C or by treatment using a zinc halide in ethanol at a temperature close to 20°C.

134. A method for the preparation of a taxoid of the formula:



(I)

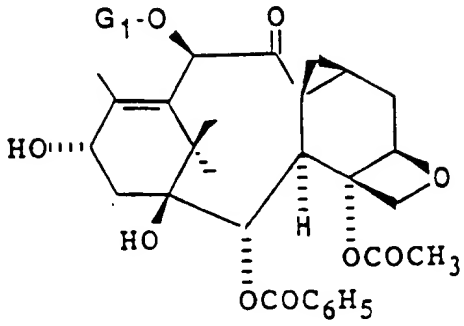
in which R represents a hydrogen atom or an acetyl, alkoxyacetyl or alkyl radical, R_1 represents a benzoyl radical or a radical R_2-O-CO- in which R_2 represents an alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, phenyl or heterocyclyl radical, and Ar represents an aryl radical, comprising reacting a compound of the formula:



(XXII)

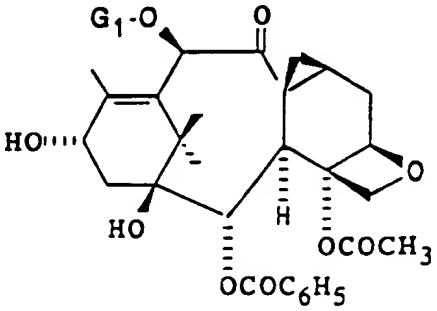
in which G_1 represents a hydrogen atom or an acetyl radical or a hydroxy-protecting group, with an alkali metal halide or an alkali metal azide or a quaternary ammonium salt or an alkali

metal phosphate in an organic solvent to form a compound of the formula:

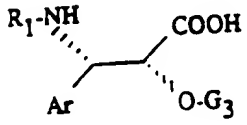


(VI)

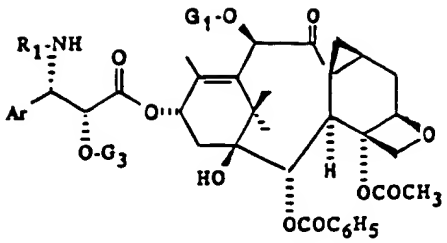
esterifying a product of the formula:



by means of an acid of the formula:



in which G_3 represents a hydroxy-protecting group, or of an activated derivative of this acid, to give a product of the formula:



replacing the protecting groups G_3 and optionally G_1 by a hydrogen atom, and isolating the product obtained.

135. Process according to claim 134, wherein the esterification is carried out by means of the free acid, the procedure being carried out in the presence of a condensing agent chosen from carbodiimides and reactive carbonates and an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, ketones, esters, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between -10 and 90°C.

136. Process according to claim 134, wherein the esterification by means of the anhydride is carried out in the presence of an activating agent chosen from aminopyridines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 90°C.

137. Process according to claim 134, wherein the esterification is carried out by means of a halide or an anhydride with an aliphatic or aromatic acid, optionally prepared in situ, the procedure being carried out in the presence of a base chosen from tertiary aliphatic amines in an organic solvent chosen from ethers, esters, ketones, nitriles, aliphatic hydrocarbons, halogenated aliphatic hydrocarbons and aromatic hydrocarbons at a temperature of between 0 and 80°C.

138. Process according to claim 134, wherein the replacement of the protecting groups G_1 and G^3 by hydrogen atoms is carried out by treatment with zinc, optionally combined with copper, in the presence of acetic acid at a temperature of between 30 and 60°C or by means of an inorganic or organic acid such as hydrochloric aid or acetic acid in solution in an aliphatic alcohol containing 1 to 3 carbon atoms or an aliphatic ester such as ethyl acetate, isopropyl acetate or n-butyl acetate in the presence of zinc optionally combined with copper, when G_1 and G_3 represent a 2,2,2-trichloroethoxycarbonyl or 2-(2-trichloromethylpropoxy)carbonyl radical, or by treatment in acidic medium such as for example hydrochloric acid in solution in an aliphatic alcohol containing 1 to 3 carbon atoms (methanol, ethanol, propanol or isopropanol) or aqueous hydrofluoric acid at a temperature of between 0 and 40°C when G_3 represents a silylated radical or an acetal residue, followed by the replacement of the protecting group G_1 by treatment using zinc,

optionally combined with copper, in the presence of acetic acid at a temperature of between 30 and 60°C or by means of an inorganic or organic acid such as hydrochloric acid or acetic acid in solution in an aliphatic alcohol containing 1 to 3 carbon atoms or an aliphatic ester such as ethyl acetate, isopropyl acetate or n-butyl acetate in the presence of zinc optionally combined with copper, or, when G_1 represents an alkoxyacetyl radical, by treatment in alkaline medium by means of ammonia in aqueous-alcoholic medium at a temperature close to 20°C or by treatment using a zinc halide in methanol at a temperature close to 20°C.

D' cont

139. Process according to claim 134, wherein when G_3 represents a radical $-CH_2-Ph$, the replacement of the group by a hydrogen atom is carried out by hydrogenolysis, after replacing the protecting group G_1 under the condition of claim 28.--

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Remarks

The newly presented claims are directed to novel intermediates used in the present invention and to novel methods for the preparation of these intermediates as well as methods for the preparation of cyclopropane containing final products from these novel intermediates. All of the new claims are supported by the original disclosure. With respect to the status of the instant claims vis a vis the Examiner's restriction requirement under 35 USC § 121, applicants believe that the present claims do